9/15/04

=>
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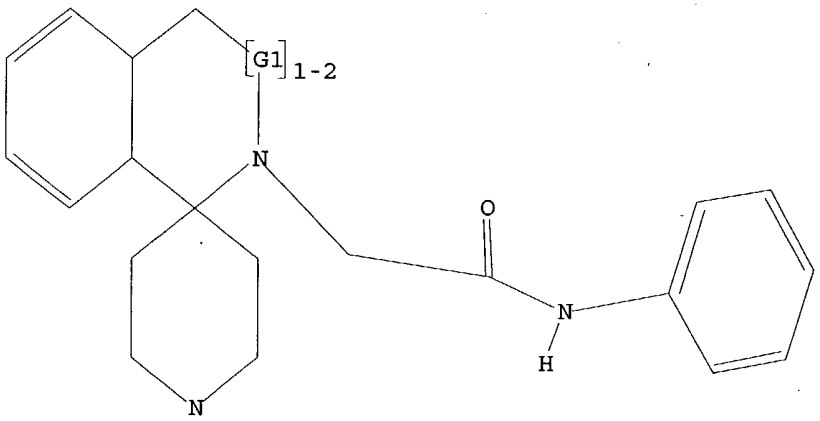
L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 C,S,CH2,SO2

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 14:51:32 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 56 TO ITERATE

100.0% PROCESSED 56 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 672 TO 1568
PROJECTED ANSWERS: 2 TO 124

L2 2 SEA SSS SAM L1

=> s l1 ful
FULL SEARCH INITIATED 14:51:36 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 890 TO ITERATE

100.0% PROCESSED 890 ITERATIONS 29 ANSWERS
SEARCH TIME: 00.00.01

L3 29 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	155.42	155.63

9/15/04

FILE 'CAPLUS' ENTERED AT 14:51:41 ON 15 SEP 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

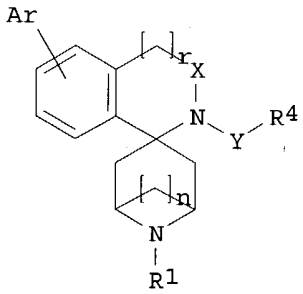
FILE COVERS 1907 - 15 Sep 2004 VOL 141 ISS 12
FILE LAST UPDATED: 14 Sep 2004 (20040914/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 1 L3

=> d abs bib hitstr

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN
GI



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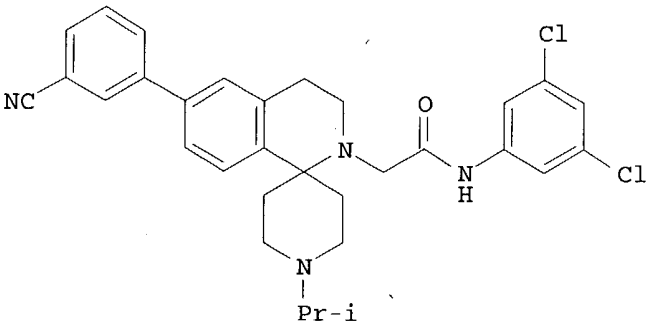


II

AB The title compds. [I; X = CH2, SO2, CO, CHMe, CMe2; Y = (CR2R3)pCONH, (CR2R3)pNH, CO(CR2R3)pNH, COCONH, CO(CR2R3)p (wherein p = 1-3 and when p is more than 1, each (CR2R3) can be the same or different); n = 0-3, and when n = 0, no connecting bond exists between the two carbons adjacent to the nitrogen; r = 0-1 and when r = 0, X is directly linked to the aromatic ring; Ar = (un)substituted (hetero)aryl; R1 = H, alkyl, cycloalkyl, etc.; R2, R3 = H, alkyl; or R2 and R3 can be joined together with the carbon to which they are attached to form a 3-7 membered ring; R4 = (un)substituted (hetero)aryl] which are novel antagonists for melanin-concentrating hormone (MCH), were prepared E.g., a multi-step synthesis of II which showed < 30 nM in MCH receptor binding assay, was given. The invention also discloses pharmaceutical compns. comprising the compds. I as well as methods of using them to treat obesity, metabolic disorders, eating disorders such as hyperphagia, and diabetes.

AN 2004:20687 CAPLUS

DN 140:77040

TI Preparation of spiro substituted piperidines as selective melanin concentrating hormone receptor antagonists for the treatment of obesity

IN Burnett, Duane A.; Wu, Wen-lian; Sasikumar, Thavalakulam K.; Domalski, Martin S.

PA Schering Corporation, USA

SO PCT Int. Appl., 53 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

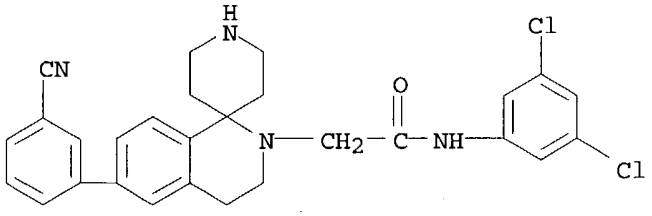
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004002987	A1	20040108	WO 2003-US20088	20030626
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004024002	A1	20040205	US 2003-607051	20030626
PRAI	US 2002-391813P	P	20020627		

OS MARPAT 140:77040

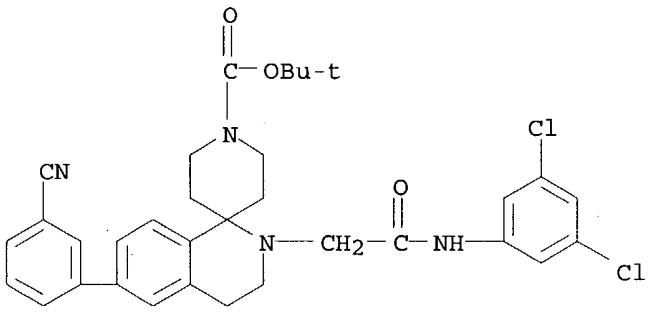
IT **642049-62-5P 642050-02-0P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of spiro substituted piperidines as selective melanin concentrating
hormone receptor antagonists for the treatment of obesity)

RN 642049-62-5 CAPLUS

CN Spiro[isoquinoline-1(2H),4'-piperidine]-2-acetamide, 6-(3-cyanophenyl)-N-(3,5-dichlorophenyl)-3,4-dihydro- (9CI) (CA INDEX NAME)



RN 642050-02-0 CAPLUS
CN Spiro[isoquinoline-1(2H),4'-piperidine]-1'-carboxylic acid, 6-(3-cyanophenyl)-2-[2-[(3,5-dichlorophenyl)amino]-2-oxoethyl]-3,4-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT **642049-53-4P 642049-55-6P 642049-57-8P**
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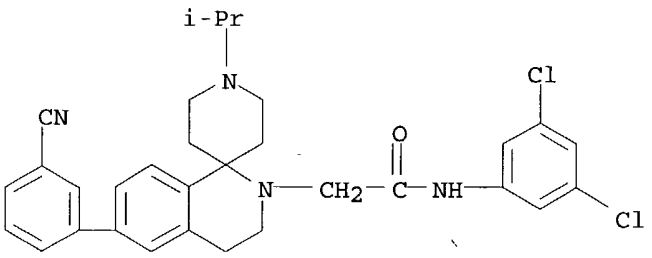
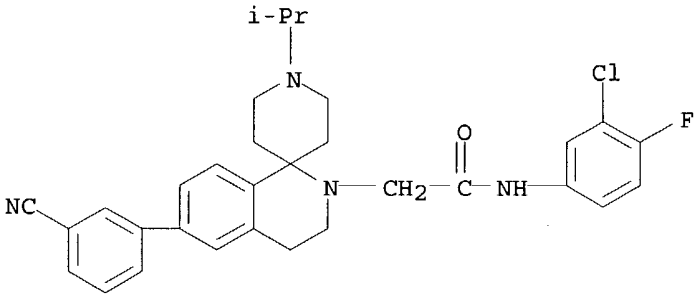
642049-63-6P 642049-65-8P 642049-67-0P
642049-68-1P 642049-70-5P 642049-72-7P
642049-74-9P 642049-76-1P 642049-78-3P
642049-79-4P 642049-80-7P 642049-81-8P
642049-82-9P 642049-83-0P 642049-85-2P
642049-86-3P 642049-88-5P 642049-90-9P
642050-01-9P 642050-03-1P 642050-04-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of spiro substituted piperidines as selective melanin concentrating
hormone receptor antagonists for the treatment of obesity)

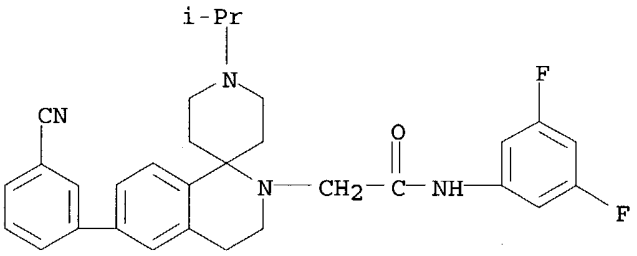
RN 642049-53-4 CAPLUS
CN Spiro[isoquinoline-1(2H),4'-piperidine]-2-acetamide, 6-(3-cyanophenyl)-N-(3,5-dichlorophenyl)-3,4-dihydro-1'-(1-methylethyl)- (9CI) (CA INDEX NAME)



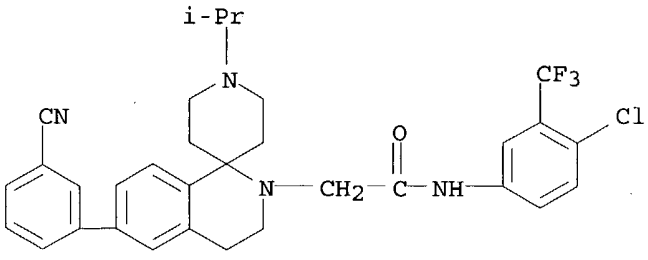
RN 642049-55-6 CAPLUS
CN Spiro[isoquinoline-1(2H),4'-piperidine]-2-acetamide, N-(3-chloro-4-fluorophenyl)-6-(3-cyanophenyl)-3,4-dihydro-1'-(1-methylethyl)- (9CI) (CA INDEX NAME)



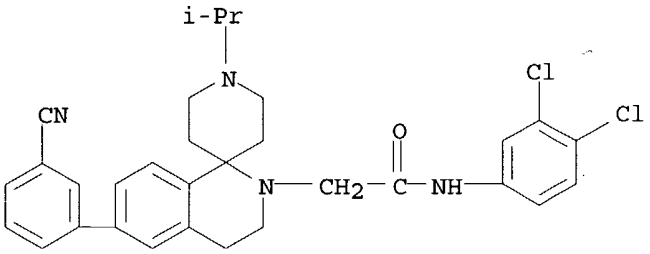
RN 642049-57-8 CAPLUS
CN Spiro[isoquinoline-1(2H),4'-piperidine]-2-acetamide, 6-(3-cyanophenyl)-N-(3,5-difluorophenyl)-3,4-dihydro-1'-(1-methylethyl)- (9CI) (CA INDEX NAME)



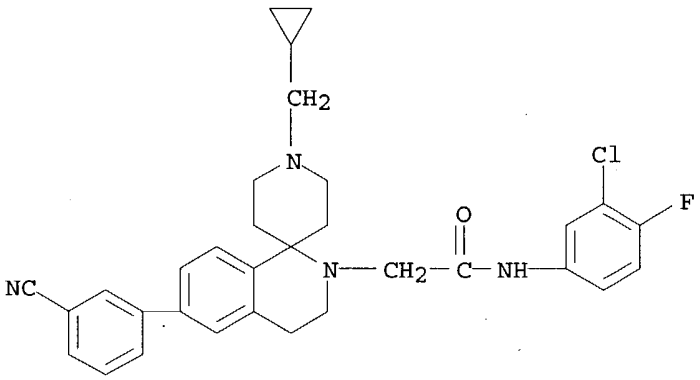
RN 642049-59-0 CAPLUS
CN Spiro[isoquinoline-1(2H),4'-piperidine]-2-acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-6-(3-cyanophenyl)-3,4-dihydro-1'-(1-methylethyl)- (9CI) (CA INDEX NAME)



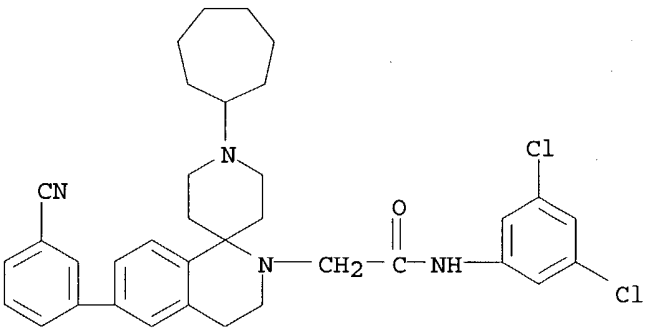
RN 642049-60-3 CAPLUS
CN Spiro[isoquinoline-1(2H),4'-piperidine]-2-acetamide, 6-(3-cyanophenyl)-N-(3,4-dichlorophenyl)-3,4-dihydro-1'-(1-methylethyl)- (9CI) (CA INDEX NAME)



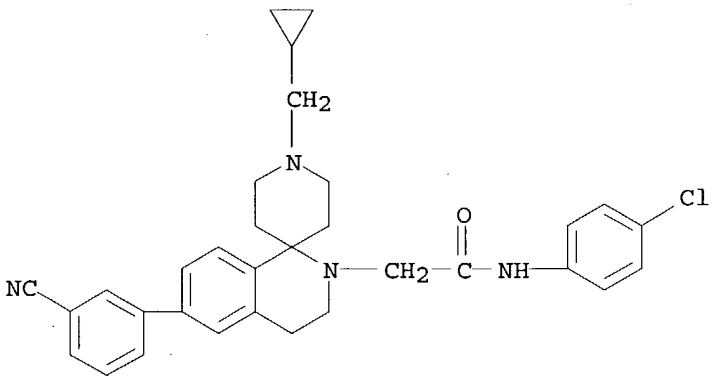
RN 642049-61-4 CAPLUS
CN Spiro[isoquinoline-1(2H),4'-piperidine]-2-acetamide, N-(3-chloro-4-fluorophenyl)-6-(3-cyanophenyl)-1'-(cyclopropylmethyl)-3,4-dihydro- (9CI) (CA INDEX NAME)



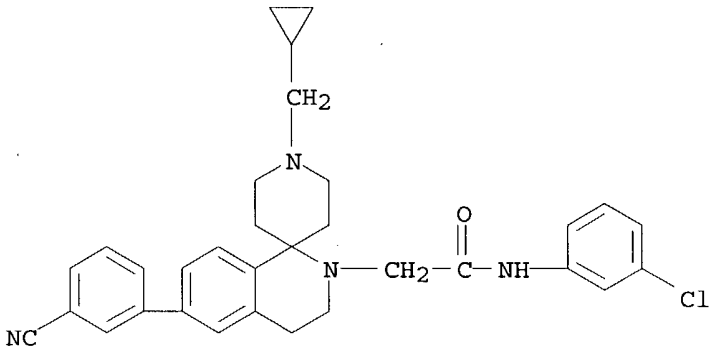
RN 642049-63-6 CAPLUS
CN Spiro[isoquinoline-1(2H),4'-piperidine]-2-acetamide, 6-(3-cyanophenyl)-1'-cycloheptyl-N-(3,5-dichlorophenyl)-3,4-dihydro- (9CI) (CA INDEX NAME)



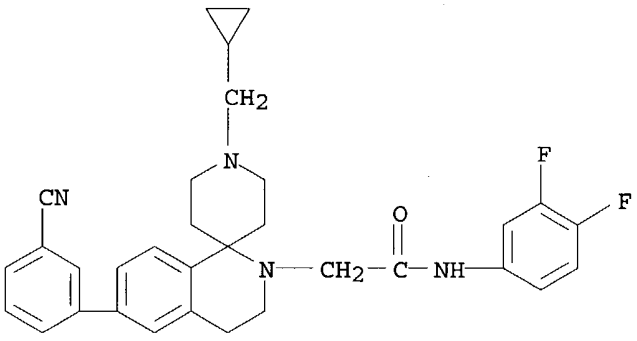
RN 642049-65-8 CAPLUS
CN Spiro[isoquinoline-1(2H),4'-piperidine]-2-acetamide, N-(4-chlorophenyl)-6-(3-cyanophenyl)-1'-(cyclopropylmethyl)-3,4-dihydro- (9CI) (CA INDEX NAME)



RN 642049-67-0 CAPLUS
CN Spiro[isoquinoline-1(2H),4'-piperidine]-2-acetamide, N-(3-chlorophenyl)-6-(3-cyanophenyl)-1'-(cyclopropylmethyl)-3,4-dihydro- (9CI) (CA INDEX NAME)

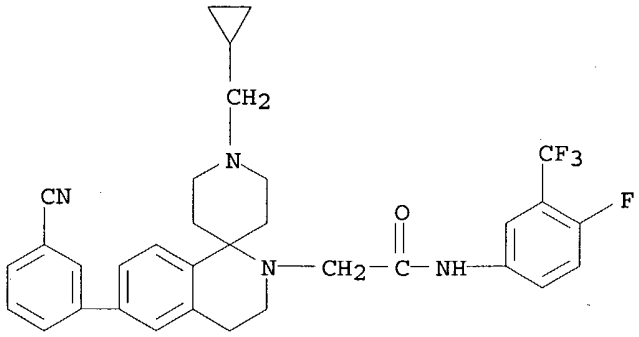


RN 642049-68-1 CAPLUS
CN Spiro[isoquinoline-1(2H),4'-piperidine]-2-acetamide, 6-(3-cyanophenyl)-1'-(cyclopropylmethyl)-N-(3,4-difluorophenyl)-3,4-dihydro- (9CI) (CA INDEX NAME)

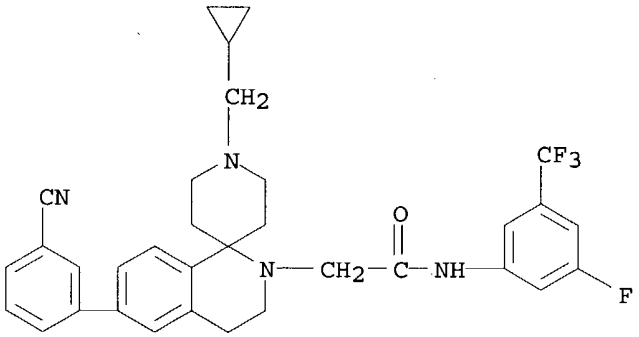


RN 642049-70-5 CAPLUS
CN Spiro[isoquinoline-1(2H),4'-piperidine]-2-acetamide, 6-(3-cyanophenyl)-1'-(cyclopropylmethyl)-N-[4-fluoro-3-(trifluoromethyl)phenyl]-3,4-dihydro-

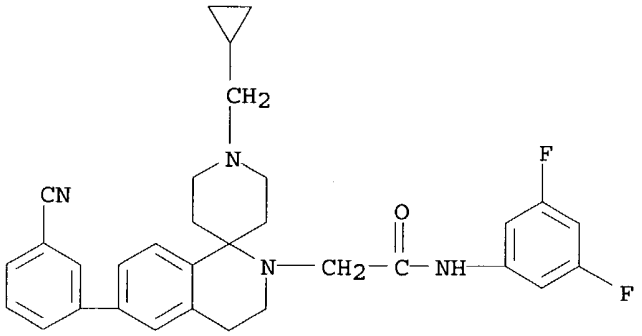
(9CI) (CA INDEX NAME)



RN 642049-72-7 CAPLUS
CN Spiro[isoquinoline-1(2H),4'-piperidine]-2-acetamide, 6-(3-cyanophenyl)-1'-(cyclopropylmethyl)-N-[3-fluoro-5-(trifluoromethyl)phenyl]-3,4-dihydro- (9CI) (CA INDEX NAME)

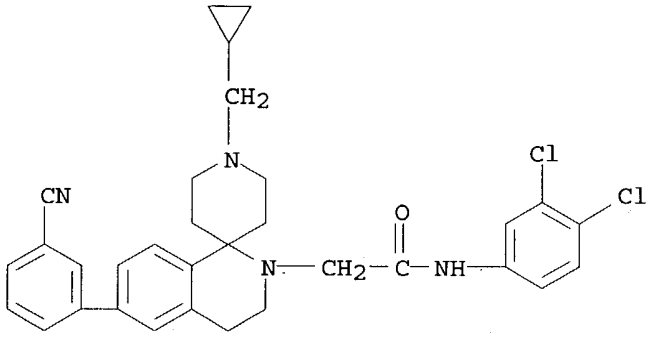


RN 642049-74-9 CAPLUS
CN Spiro[isoquinoline-1(2H),4'-piperidine]-2-acetamide, 6-(3-cyanophenyl)-1'-(cyclopropylmethyl)-N-(3,5-difluorophenyl)-3,4-dihydro- (9CI) (CA INDEX NAME)

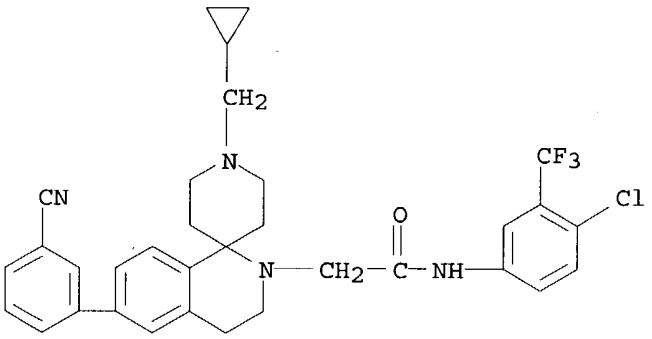


RN 642049-76-1 CAPLUS
CN Spiro[isoquinoline-1(2H),4'-piperidine]-2-acetamide, 6-(3-cyanophenyl)-1'-

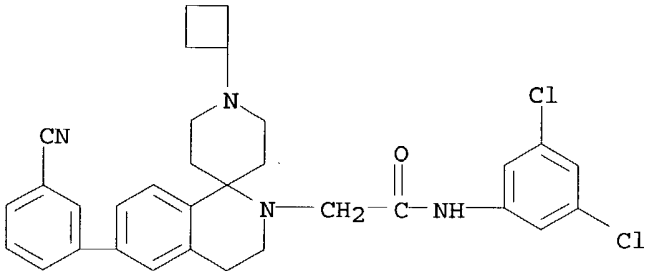
(cyclopropylmethyl)-N-(3,4-dichlorophenyl)-3,4-dihydro- (9CI) (CA INDEX NAME)



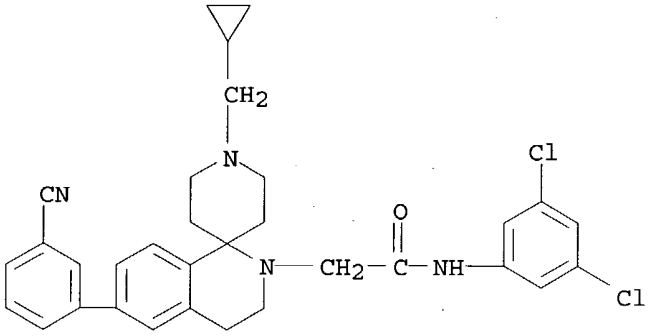
RN 642049-78-3 CAPLUS
CN Spiro[isoquinoline-1(2H),4'-piperidine]-2-acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-6-(3-cyanophenyl)-1'-(cyclopropylmethyl)-3,4-dihydro- (9CI) (CA INDEX NAME)



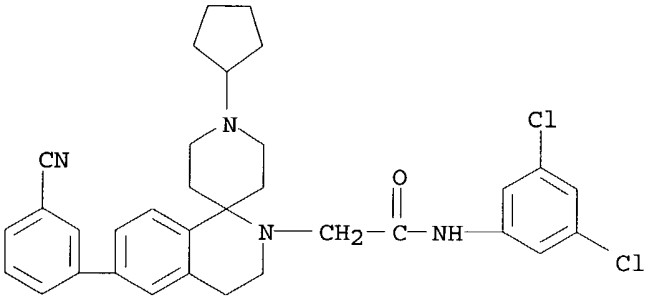
RN 642049-79-4 CAPLUS
CN Spiro[isoquinoline-1(2H),4'-piperidine]-2-acetamide, 6-(3-cyanophenyl)-1'-cyclobutyl-N-(3,5-dichlorophenyl)-3,4-dihydro- (9CI) (CA INDEX NAME)



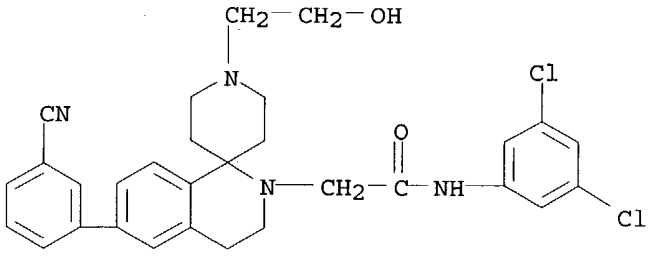
RN 642049-80-7 CAPLUS
CN Spiro[isoquinoline-1(2H),4'-piperidine]-2-acetamide, 6-(3-cyanophenyl)-1'-(cyclopropylmethyl)-N-(3,5-dichlorophenyl)-3,4-dihydro- (9CI) (CA INDEX NAME)



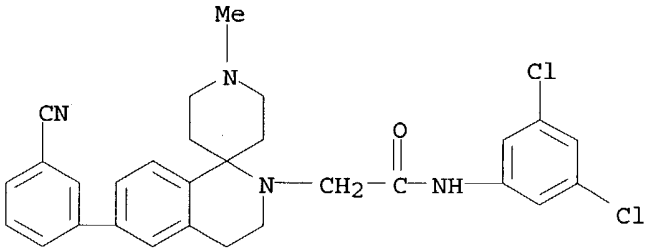
RN 642049-81-8 CAPLUS
CN Spiro[isoquinoline-1(2H),4'-piperidine]-2-acetamide, 6-(3-cyanophenyl)-1'-cyclopentyl-N-(3,5-dichlorophenyl)-3,4-dihydro- (9CI) (CA INDEX NAME)



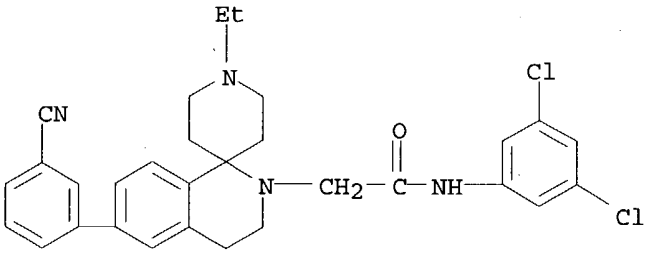
RN 642049-82-9 CAPLUS
CN Spiro[isoquinoline-1(2H),4'-piperidine]-2-acetamide, 6-(3-cyanophenyl)-N-(3,5-dichlorophenyl)-3,4-dihydro-1'-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



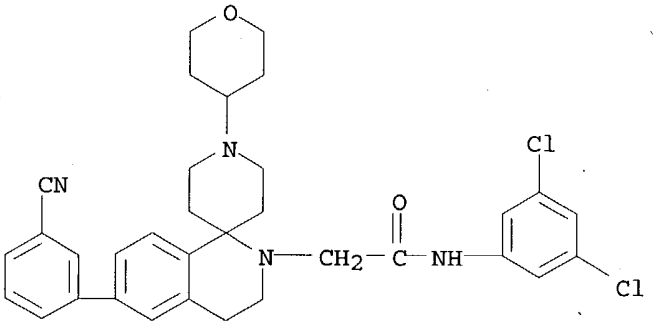
RN 642049-83-0 CAPLUS
CN Spiro[isoquinoline-1(2H),4'-piperidine]-2-acetamide, 6-(3-cyanophenyl)-N-(3,5-dichlorophenyl)-3,4-dihydro-1'-methyl- (9CI) (CA INDEX NAME)



RN 642049-85-2 CAPLUS
CN Spiro[isoquinoline-1(2H),4'-piperidine]-2-acetamide, 6-(3-cyanophenyl)-N-(3,5-dichlorophenyl)-1'-ethyl-3,4-dihydro- (9CI) (CA INDEX NAME)



RN 642049-86-3 CAPLUS
CN Spiro[isoquinoline-1(2H),4'-piperidine]-2-acetamide, 6-(3-cyanophenyl)-N-(3,5-dichlorophenyl)-3,4-dihydro-1'-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)



RN 642049-88-5 CAPLUS
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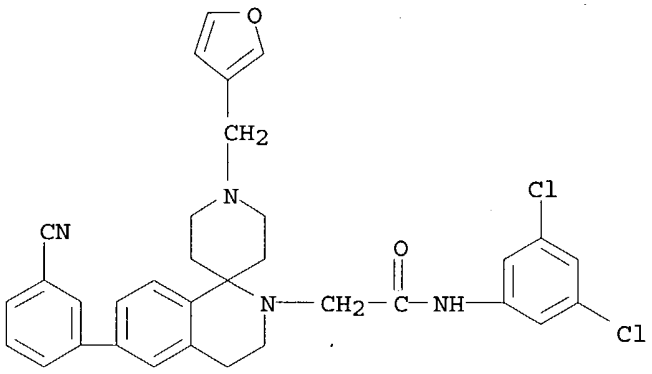

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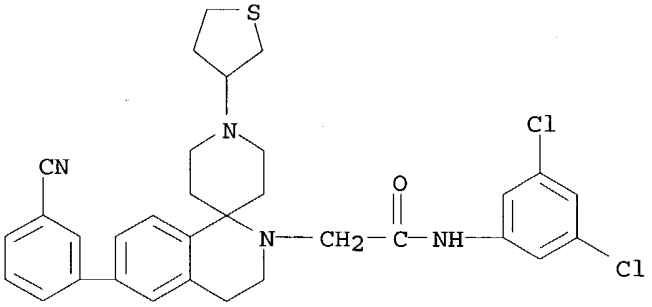
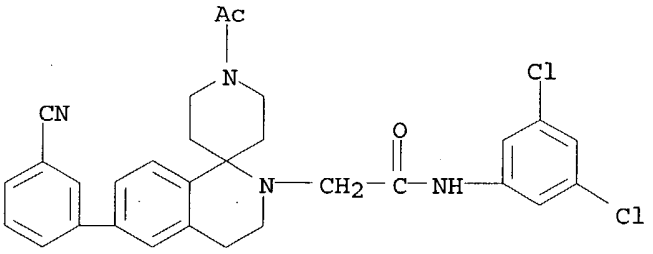
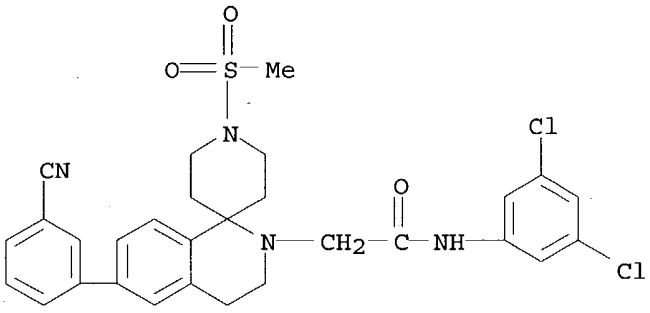
CN Spiro[isoquinoline-1(2H),4'-piperidine]-2-acetamide, 6-(3-cyanophenyl)-N-(3,5-dichlorophenyl)-3,4-dihydro-1'-(tetrahydro-3-thienyl)- (9CI) (CA INDEX NAME)



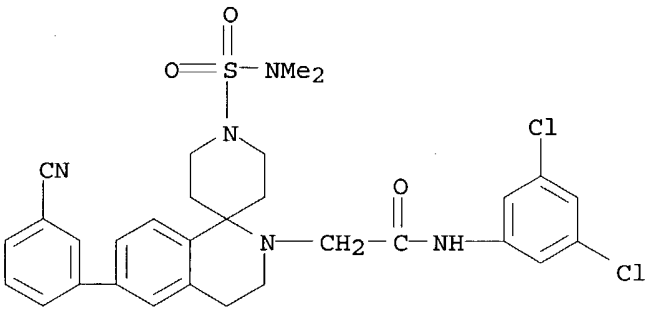
RN 642050-01-9 CAPLUS
CN Spiro[isoquinoline-1(2H),4'-piperidine]-2-acetamide, 1'-acetyl-6-(3-cyanophenyl)-N-(3,5-dichlorophenyl)-3,4-dihydro- (9CI) (CA INDEX NAME)



RN 642050-03-1 CAPLUS
CN Spiro[isoquinoline-1(2H),4'-piperidine]-2-acetamide, 6-(3-cyanophenyl)-N-(3,5-dichlorophenyl)-3,4-dihydro-1'-(methylsulfonyl)- (9CI) (CA INDEX NAME)



RN 642050-04-2 CAPLUS
CN Spiro[isoquinoline-1(2H),4'-piperidine]-2-acetamide, 6-(3-cyanophenyl)-N-(3,5-dichlorophenyl)-1'-[(dimethylamino)sulfonyl]-3,4-dihydro- (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file registry

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	6.08	161.71
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-0.70	-0.70

FILE 'REGISTRY' ENTERED AT 14:53:22 ON 15 SEP 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 14 SEP 2004 HIGHEST RN 744786-72-9
DICTIONARY FILE UPDATES: 14 SEP 2004 HIGHEST RN 744786-72-9

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when

9/15/04

conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
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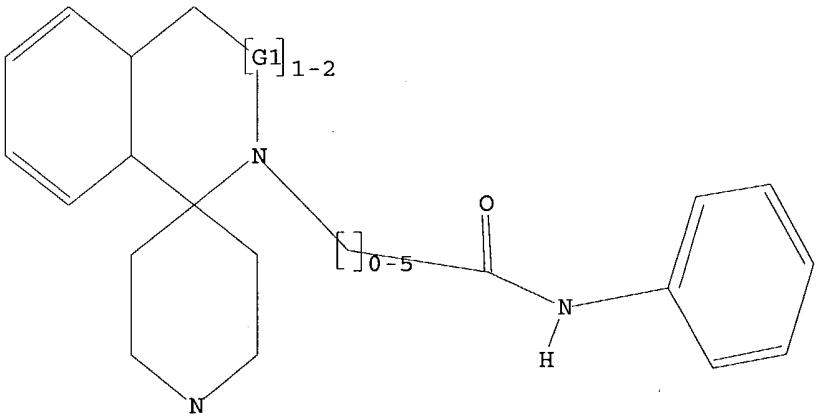
L5 STRUCTURE UPLOADED

=> d l5
L5 HAS NO ANSWERS
L5 STR



G1 C,S,CH2,SO2

Structure attributes must be viewed using STN Express query preparation.

=> s l5
SAMPLE SEARCH INITIATED 14:53:43 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 8 TO ITERATE

100.0% PROCESSED 8 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 8 TO 329
PROJECTED ANSWERS: 2 TO 124

L6 2 SEA SSS SAM L5

=> s l5 ful
FULL SEARCH INITIATED 14:53:47 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 151 TO ITERATE

100.0% PROCESSED 151 ITERATIONS 29 ANSWERS

10607051

9/15/04

SEARCH TIME: 00.00.01

L7 29 SEA SSS FUL L5

=> file registry

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	155.84	317.55

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-0.70

FILE 'REGISTRY' ENTERED AT 14:54:24 ON 15 SEP 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 14 SEP 2004 HIGHEST RN 744786-72-9
DICTIONARY FILE UPDATES: 14 SEP 2004 HIGHEST RN 744786-72-9

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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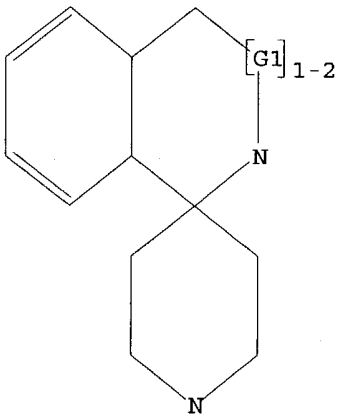
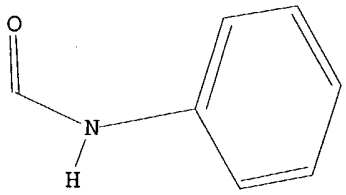
L8 STRUCTURE UPLOADED

=> d l8
L8 HAS NO ANSWERS
L8 STR





G1 C,S,CH2,SO2

Structure attributes must be viewed using STN Express query preparation.

=> s l8 ful
FULL SEARCH INITIATED 14:54:47 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 880 TO ITERATE

100.0% PROCESSED 880 ITERATIONS 42 ANSWERS
SEARCH TIME: 00.00.01

L9 42 SEA SSS FUL L8

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	155.42	472.97

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-0.70

FILE 'CAPLUS' ENTERED AT 14:54:53 ON 15 SEP 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 15 Sep 2004 VOL 141 ISS 12
FILE LAST UPDATED: 14 Sep 2004 (20040914/ED)

9/15/04

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l9
L10 7 L9

=> d abs bib fhitstr 1-7

L10 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

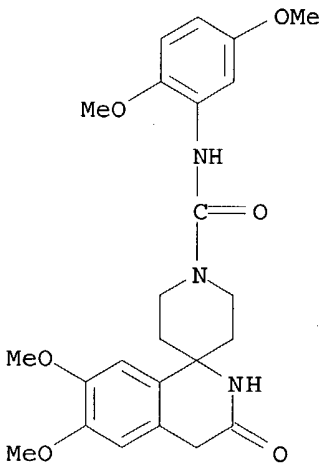
AB Title compds. represented by I [when X = NH, then R1 = H, Me, F, Br, Cl, MeO, BnO; when X = O, R1 = H, Me, F, Br; Ring A = (un)substituted nitrogen heterocycle], II [R2 = CONHR4 or COR4 where R4 = (un)substituted alkyl, aryl or heteroaryl; R3 = H, Me, fused benzene ring, or one to three methoxy groups], and III [R5 = H, MeO, di-MeO, Cl; Y independently = C or N with provision one Y = N, other Y = C; R6 = (un)substituted aryl; X1 = saturated N heterocycle with X2 attached to N atom of ring; X2 = CONHR7 or COR8 with R7 = alkylcarboxylic acid and R8 = (un)substituted alkyl, aryl or heteroaryl] are prepared as members of a chemical library distinguished by the ability to bind G-protein coupled receptors (no data) via picking up interactions with receptors for a subset of peptidic receptors, with recognition sites for amide and acidic ligands centered on transmembrane helixes TM3 and TM6, although similar interactions are also found in lipid-type and ADP/ATP/UDP-types of receptors. Thus, e.g., IV was prepared by spirocyclization of 5-methoxytryptophol with 4-piperidone followed by N-acylation with 2,3-dihydro-1,4-benzodioxin-6-ylisocyanate.
AN 2004:565097 CAPLUS
DN 141:123623
TI Preparation of spiroheterocycles and imidazopyridine derivative based chemical screening library for identification of ligands capable of binding to G-protein coupled receptors
IN Ward, Terence; Crossley, Roger; Slater, Martin John
PA Biofocus Plc, UK
SO PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004058264	A1	20040715	WO 2003-GB5637	20031224

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI GB 2002-30195 A 20021224
IT **724458-36-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepared chemical library members; preparation of spiroheterocycles and imidazopyridine derivative based chemical screening library for identification
of ligands capable of binding to G-protein coupled receptors)
RN 724458-36-0 CAPLUS
CN Spiro[isoquinoline-1(2H),4'-piperidine]-1'-carboxamide, N-(2,5-dimethoxyphenyl)-3,4-dihydro-6,7-dimethoxy-3-oxo- (9CI) (CA INDEX NAME)



L10 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
AB The invention discloses compns. comprising a NPY5 antagonist and an antiobesity agent, useful for the treatment and prevention of diabetes, obesity, and obesity-related disorders. The invention also discloses methods of treating or preventing obesity and obesity-related disorders in a subject in need thereof by administering a composition of the invention. The invention further discloses pharmaceutical compns., medicaments, and kits useful in carrying out the methods.
AN 2004:80448 CAPLUS
DN 140:122817
TI NPY5 antagonist-antiobesity agent combination for the prevention and treatment of diabetes, obesity, and obesity-related disorders
IN Macneil, Douglas J.; Mcintyre, James H.; Van Der Ploeg, Leonardus H. T.; Ishihara, Akane
PA Merck & Co., Inc., USA; Banyu Pharmaceutical Co., Ltd.
SO PCT Int. Appl., 134 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004009015	A2	20040129	WO 2003-US22077	20030714
	WO 2004009015	A3	20040304		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

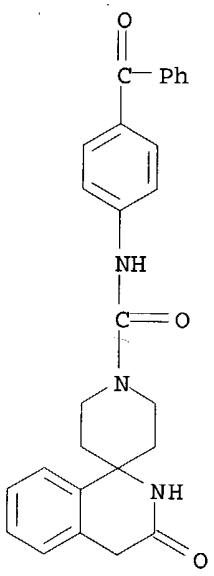
PRAI US 2002-396603P P 20020718
US 2002-417999P P 20021011

OS MARPAT 140:122817

IT **328232-00-4**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(NPY5 antagonist-antiobesity agent combination for the prevention and treatment of diabetes, obesity, and obesity-related disorders)

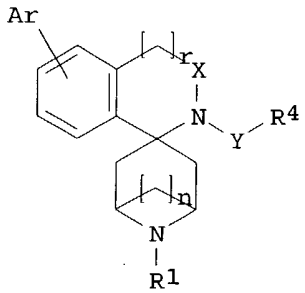
RN 328232-00-4 CAPLUS

CN Spiro[isoquinoline-1(2H),4'-piperidine]-1'-carboxamide, N-(4-benzoylphenyl)-3,4-dihydro-3-oxo- (9CI) (CA INDEX NAME)



L10 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

GI



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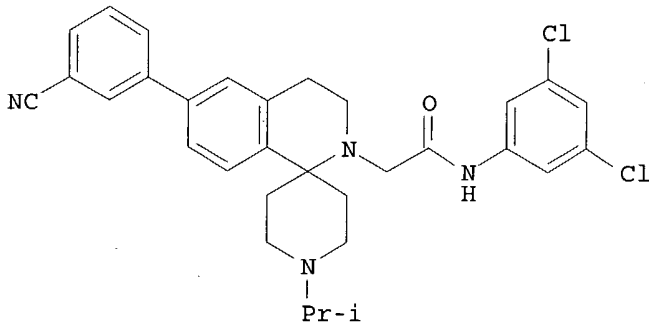


II

AB The title compds. [I; X = CH2, SO2, CO, CHMe, CMe2; Y = (CR2R3)pCONH, (CR2R3)pNH, CO(CR2R3)pNH, COCONH, CO(CR2R3)p (wherein p = 1-3 and when p is more than 1, each (CR2R3) can be the same or different); n = 0-3, and when n = 0, no connecting bond exists between the two carbons adjacent to the nitrogen; r = 0-1 and when r = 0, X is directly linked to the aromatic ring; Ar = (un)substituted (hetero)aryl; R1 = H, alkyl, cycloalkyl, etc.; R2, R3 = H, alkyl; or R2 and R3 can be joined together with the carbon to which they are attached to form a 3-7 membered ring; R4 = (un)substituted (hetero)aryl] which are novel antagonists for melanin-concentrating hormone (MCH), were prepared E.g., a multi-step synthesis of II which showed < 30 nM in MCH receptor binding assay, was given. The invention also discloses pharmaceutical compns. comprising the compds. I as well as methods of using them to treat obesity, metabolic disorders, eating disorders such as hyperphagia, and diabetes.

AN 2004:20687 CAPLUS
DN 140:77040

TI Preparation of spiro substituted piperidines as selective melanin concentrating hormone receptor antagonists for the treatment of obesity

IN Burnett, Duane A.; Wu, Wen-lian; Sasikumar, Thavalakulam K.; Domalski, Martin S.
PA Schering Corporation, USA

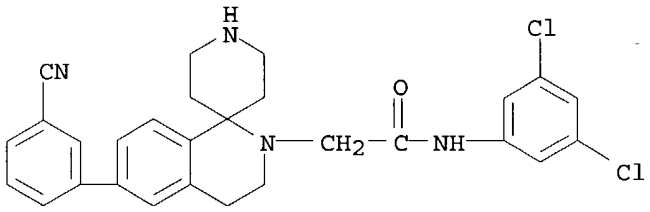
SO PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004002987	A1	20040108	WO 2003-US20088	20030626

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD,

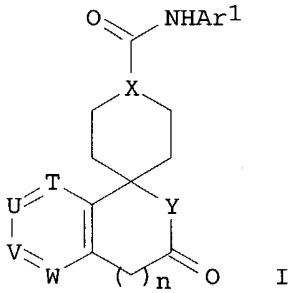
MG, MK, MN, MX, MZ, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SE,
SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA,
ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
GW, ML, MR, NE, SN, TD, TG

US 2004024002 A1 20040205 US 2003-607051 20030626
PRAI US 2002-391813P P 20020627
OS MARPAT 140:77040
IT **642049-62-5P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of spiro substituted piperidines as selective melanin concentrating
hormone receptor antagonists for the treatment of obesity)
RN 642049-62-5 CAPLUS
CN Spiro[isoquinoline-1(2H),4'-piperidine]-2-acetamide, 6-(3-cyanophenyl)-N-(3,5-dichlorophenyl)-3,4-dihydro- (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
GI



I

AB Title compds. [I; Ar1 = (substituted) aryl, heteroaryl, QAr2; Ar2 = (substituted) aryl, heteroaryl; Q = bond, CO; T, U, V, W = N, (substituted) CH; X = CH, CH(OH); Y = (substituted) imino, O], were prepared Thus, N-tert-butoxycarbonyl-4-piperidone was refluxed 3 h with PhCH2NH2 in

PhMe to give a residue which was stirred with o-iodobenzoyl chloride and Et3N in PhMe at 80° for 2 h to give N-benzyl-N-(1-tert-butoxycarbonyl-1,2,3,6-tetrahydropyridin-4-yl)-2-iodobenzamide. The latter was heated with Pd(OAc)2, Ph3P, K2CO3, and Et4NCl in MeCN at 80° for 6 h to give 2-benzyl-1'-tert-butoxycarbonyl-1',6'-dihydrospiro[1H-isoindole-1,4'(5'H)-pyridine]-3(2H)-one. This was converted to N-(4-benzoylphenyl)-3-oxospiro[isoindoline-1,4'-piperidine]-1'-carboxamide (II), which inhibited [125I]neuropeptide Y binding to NPY Y5 receptors with IC50 = 1.2 nM. II drug formulations are given.

AN 2002:947029 CAPLUS
DN 138:24705
TI Preparation of spiroisoindolinepiperidinecarboxamides, spirocyclohexaneisobenzofurancarboxamides, spiroazaisobenzofurancyclohexanecarboxamides, and related compounds as neuropeptide Y antagonists.
IN Fukami, Takehiro; Kanatani, Akio; Ishihara, Akane; Ishii, Yasuyuki; Takahashi, Toshiyuki; Haga, Yuji; Sakamoto, Toshihiro; Itoh, Takahiro
PA Japan
SO U.S. Pat. Appl. Publ., 53 pp., Cont.-in-part of U.S. Pat. Appl. 2002 52,371.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

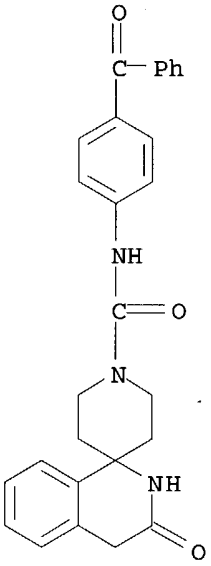
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002188124	A1	20021212	US 2002-92549	20020308
	US 6326375	B1	20011204	US 2000-640784	20000818
	US 6335345	B1	20020101	US 2001-928431	20010814
	US 2002052371	A1	20020502	US 2001-983598	20011025
	US 6388077	B2	20020514		
	ZA 2002000734	A	20030128	ZA 2002-734	20020128
	US 6462053	B2	20021008	US 2002-101221	20020320
	US 2002165391	A1	20021107		
	US 2003055251	A1	20030320	US 2002-226225	20020823
	US 6649624	B2	20031118		
	JP 2003104884	A2	20030409	JP 2002-271261	20020918
	JP 3553560	B2	20040811		
	WO 2003076443	A1	20030918	WO 2003-JP2611	20030305
	W: AE, AG, AL, AM, AU, AZ, BA, BB, BR, BY, BZ, CA, CN, CO, CR, CU, DM, DZ, EC, GD, GH, HR, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, NO, NZ, OM, PH, PL, RO, RU, SC, SG, TJ, TM, TN, TT, UA, US, UZ, VC, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2003220499	A1	20031127	US 2003-453737	20030604
	US 6723847	B2	20040420		
PRAI	JP 1999-233573	A	19990820		
	JP 2000-137692	A	20000510		
	US 2000-640784	A3	20000818		
	US 2001-983598	A2	20011025		
	JP 2000-247145	A3	20000817		
	US 2002-92549	A	20020308		
	US 2002-101221	A3	20020320		
	US 2002-226225	A3	20020823		

OS MARPAT 138:24705
IT **328232-00-4P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of spiroisoindolinepiperidinecarboxamides, spirocyclohexaneisobenzofurancarboxamides, spiroazaisobenzofurancyclohexanecarboxamides, and related compds. as neuropeptide Y antagonists)

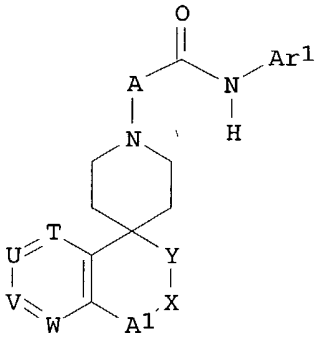
RN 328232-00-4 CAPLUS

CN Spiro[isoquinoline-1(2H),4'-piperidine]-1'-carboxamide, N-(4-benzoylphenyl)-3,4-dihydro-3-oxo- (9CI) (CA INDEX NAME)



L10 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

GI



I

AB The title compds. I [A is optionally substituted C1-3 linear alkylene; Ar1 is optionally substituted aryl or heteroaryl; A1 is (CH2)n; n is 0 or 1; T, U, V, and W each is an optionally substituted methine group or a nitrogen atom, provided that at least two of these are the methine group; X is CO, etc., and Y is O, etc.] are prepared I are useful as remedies for

hyperphagia, obesity, diabetes, etc. Formulations are given. In an in vitro test for NPY receptor binding inhibition, compds. of this invention showed IC50 values of 2.4 nM to 10 nM.

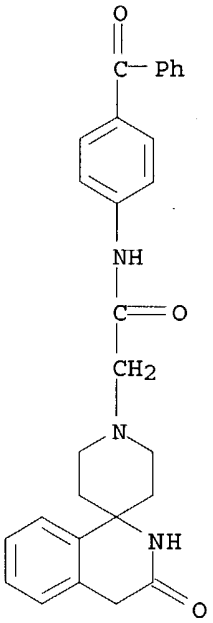
AN 2002:906223 CAPLUS
DN 138:4524
TI Preparation of spiropiperidine derivatives as NPY antagonists
IN Fukami, Takehiro; Moriya, Minoru; Suga, Takuya
PA Banyu Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 169 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002094825	A1	20021128	WO 2002-JP4954	20020522

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

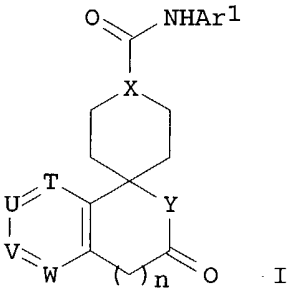
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI JP 2001-152019 A 20010522
OS MARPAT 138:4524
IT **476621-61-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of spiropiperidine derivs. as NPY antagonists)
RN 476621-61-1 CAPLUS
CN Spiro[isoquinoline-1(2H),4'-piperidine]-1'-acetamide, N-(4-benzoylphenyl)-3,4-dihydro-3-oxo- (9CI) (CA INDEX NAME)



RE.CNT 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
GI



I

AB Title compds. [I; Ar1 = (substituted) aryl, heteroaryl, QAr2; Ar2 = (substituted) aryl, heteroaryl; Q = bond, CO; T, U, V, W = N, (substituted) CH; X = N, CH; Y = (substituted) imino], were prepared Thus, N-tert-butoxycarbonyl-4-piperidone was refluxed 3 h with PhCH2NH2 in PhMe to give a residue which was stirred with o-iodobenzoyl chloride and Et3N in PhMe at 80° for 2 h to give N-benzyl-N-(1-tert-butoxycarbonyl-1,2,3,6-tetrahydropyridin-4-yl)-2-iodobenzamide. The latter was heated with Pd(OAc)2, Ph3P, K2CO3, and Et4NCl in MeCN at 80° for 6 h to give 2-benzyl-1'-tert-butoxycarbonyl-1',6'-dihydrospiro[1H-isoindole-1,4'(5'H)-pyridine]-3(2H)-one. This was converted to N-(4-benzoylphenyl)-3-oxospiro[isoindoline-1,4'-piperidine]-1'-carboxamide, (II), which inhibited [125I]peptide YY binding to NPY Y5 receptors with IC50 = 1.2 nM. II drug formulations are given.
AN 2001:152682 CAPLUS

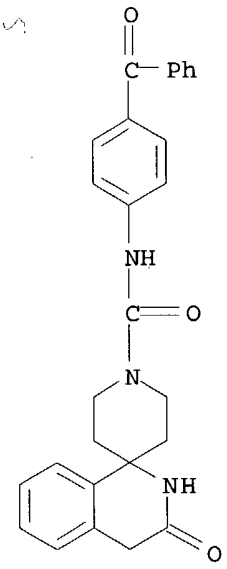
DN 134:207809
TI Preparation of spiroisoindolinepiperidines, spiroisoquinolinepiperidines, spiroisobenzofuranpiperidines, and related compounds as neuropeptide Y antagonists.
IN Fukami, Takehiro; Kanatani, Akio; Ishihara, Akane; Ishii, Yasuyuki; Takahashi, Toshiyuki; Haga, Yuji; Sakamoto, Toshihiro; Itoh, Takahiro
PA Banyu Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 164 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001014376	A1	20010301	WO 2000-JP5427	20000811
	W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	BR 2000013423	A	20020507	BR 2000-13423	20000811
	EP 1204663	A1	20020515	EP 2000-951971	20000811
	EP 1204663	B1	20031029		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	TR 200200408	T2	20020621	TR 2002-200200408	20000811
	EE 200200082	A	20030616	EE 2002-82	20000811
	NZ 517057	A	20030829	NZ 2000-517057	20000811
	AU 767229	B2	20031106	AU 2000-64762	20000811
	AT 253064	E	20031115	AT 2000-951971	20000811
	PT 1204663	T	20040227	PT 2000-951971	20000811
	ES 2206287	T3	20040516	ES 2000-951971	20000811
	JP 2002030086	A2	20020129	JP 2000-247145	20000817
	JP 3411262	B2	20030526		
	ZA 2002000734	A	20030128	ZA 2002-734	20020128
	BG 106390	A	20021229	BG 2002-106390	20020206
	NO 2002000814	A	20020415	NO 2002-814	20020219
	HK 1043123	A1	20040130	HK 2002-104686	20020624
	US 2003055251	A1	20030320	US 2002-226225	20020823
	US 6649624	B2	20031118		
	JP 2003104884	A2	20030409	JP 2002-271261	20020918
	JP 3553560	B2	20040811		
	US 2003220499	A1	20031127	US 2003-453737	20030604
	US 6723847	B2	20040420		
PRAI	JP 1999-233573	A	19990820		
	JP 2000-137692	A	20000510		
	WO 2000-JP5427	W	20000811		
	JP 2000-247145	A3	20000817		
	US 2000-640784	A3	20000818		
	US 2001-983598	A3	20011025		
	US 2002-101221	A3	20020320		
	US 2002-226225	A3	20020823		

OS MARPAT 134:207809
IT **328232-00-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

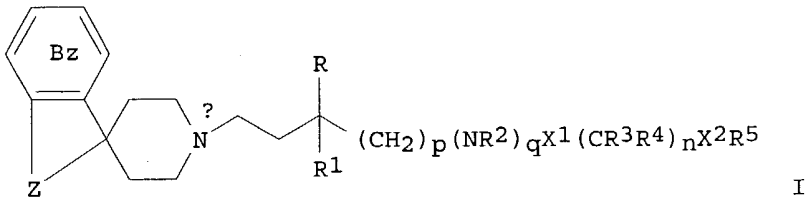
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of spiroisoindolinepiperidines, spiroisoquinolinepiperidines, spiroisobenzofuranpiperidines, and related compds. as neuropeptide Y antagonists)

RN 328232-00-4 CAPLUS
CN Spiro[isoquinoline-1(2H),4'-piperidine]-1'-carboxamide, N-(4-benzoylphenyl)-3,4-dihydro-3-oxo- (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
GI



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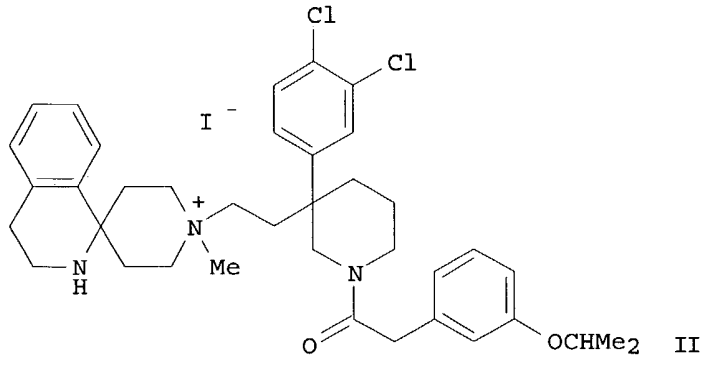


II

AB The title compds. I [Na = N (which may form a quaternary ammonium salt with alkyl, etc.), or N-oxide; Z = C(R8R9)Z1, etc.; Z1 = O, etc.; R = (un)substituted aryl; n = 0 - 5; p, q = 0 or 1 (a proviso is given); X1 = CO, etc. (a proviso is given); X2 = O, S, etc. (a proviso is given); R1 - R4 = H, alkyl; further details on R1 and R2 are given; R5 = H, (un)substituted alkyl, etc.; R8, R9 = H, alkyl, etc.; ring Bz = (un)substituted benzene] are claimed. In an in vitro test for NK-1 receptor antagonism, the title compound II (preparation given) showed IC50 of 2 nM.

AN 1995:997446 CAPLUS

DN 124:175840

TI Preparation of spiro heterocyclic compounds as tachykinin antagonists

IN Kubota, Hirokazu; Okamoto, Yoshinori; Fujii, Masahiro; Kakefuda, Akio; Yamamoto, Osamu; Nagaoka, Hitoshi; Ikeda, Ken; Isomura, Yasuo

PA Yamanouchi Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 142 pp.
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9528389	A1	19951026	WO 1995-JP713	19950412
	W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KE, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TT, UA, US, UZ, VN				
	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9522234	A1	19951110	AU 1995-22234	19950412
PRAI	JP 1994-101936		19940415		
	JP 1994-255382		19941020		
	WO 1995-JP713		19950412		

OS MARPAT 124:175840

IT **173941-97-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of spiro heterocyclic compds. as tachykinin antagonists)

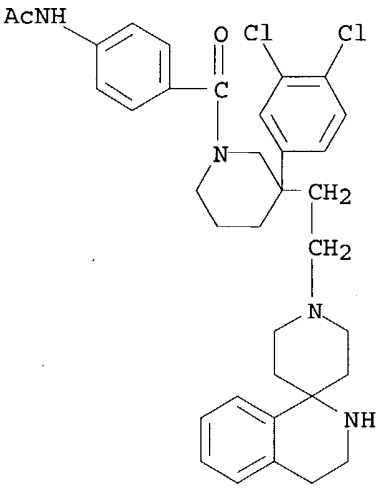
RN 173941-97-4 CAPLUS

CN Acetamide, N-[4-[[3-(3,4-dichlorophenyl)-3-[2-(3,4-dihydrospiro[isoquinoline-1(2H),4'-piperidin]-1'-yl)ethyl]-1-piperidinyl]carbonyl]phenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 173941-96-3
CMF C35 H40 Cl2 N4 O2

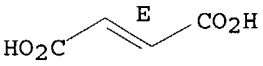
9/15/04



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



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